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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS 3	Feb 06	Engineering Information Encompass files have new names
NEWS 4	Feb 16	TOXLINE no longer being updated
NEWS 5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS 6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS 7	May 07	DGENE Reload
NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS 9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
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NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 17	Oct 22	Over 1 million reactions added to CASREACT
NEWS 18	Oct 22	DGENE GETSIM has been improved
NEWS 19	Oct 29	AAASD no longer available
NEWS 20	Nov 19	New Search Capabilities USPATFULL and USPAT2
NEWS 21	Nov 19	TOXCENTER(SM) - new toxicology file now available on STN
NEWS 22	Nov 29	COPPERLIT now available on STN
NEWS 23	Nov 29	DWPI revisions to NTIS and US Provisional Numbers
NEWS 24	Nov 30	Files VETU and VETB to have open access
NEWS 25	Dec 10	WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS 26	Dec 10	DGENE BLAST Homology Search
NEWS 27	Dec 17	WELDASEARCH now available on STN
NEWS 28	Dec 17	STANDARDS now available on STN
NEWS 29	Dec 17	New fields for DPCI
NEWS 30	Dec 19	CAS Roles modified
NEWS 31	Dec 19	1907-1946 data and page images added to CA and CAplus

NEWS EXPRESS	August 15 CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
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FILE 'HOME' ENTERED AT 11:45:38 ON 02 JAN 2002

=> fil reg
FILE 'REGISTRY' ENTERED AT 11:45:44 ON 02 JAN 2002
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Calculated physical property data is now available. See HELP PROPERTIES
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http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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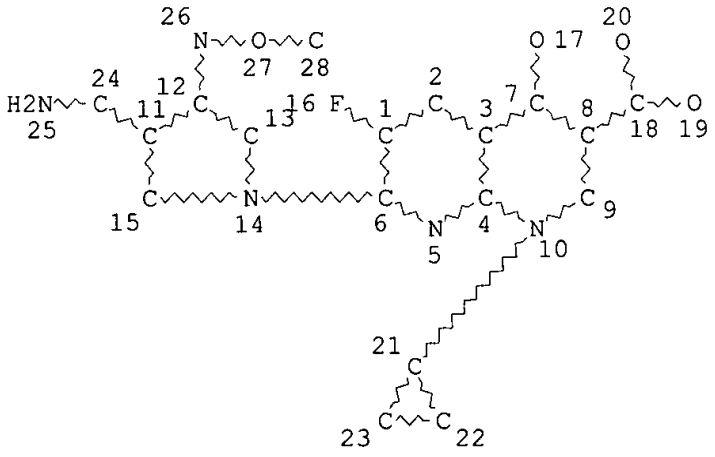
'.REGISTRY' IS DEFAULT FORMAT FOR 'REGISTRY' FILE

=> dsia
DSIA IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d sia
L1 HAS NO ANSWERS
L1 STR



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE

=> s l1
SAMPLE SEARCH INITIATED 11:51:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8 TO 329
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 11:51:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 103 TO ITERATE

100.0% PROCESSED 103 ITERATIONS 54 ANSWERS
SEARCH TIME: 00.00.01

L3 54 SEA SSS FUL L1

=> fil caplus
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FILE COVERS 1907 - 2 Jan 2002 VOL 136 ISS 1
FILE LAST UPDATED: 1 Jan 2002 (20020101/ED)

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*** YOU HAVE NEW MAIL ***

=> s sesquihydrate?
L4 509 SESQUIHYDRATE?

=> s l3 and l4
141 L3
L5 0 L3 AND L4

=> s (mesylate? or methane sulfonic acid or methanesulfonate?)
5050 MESYLATE?
112498 METHANE
56934 SULFONIC
3273347 ACID
317 METHANE SULFONIC ACID
(METHANE(W)SULFONIC(W)ACID)
10217 METHANESULFONATE?
L6 15331 (MESYLATE? OR METHANE SULFONIC ACID OR METHANESULFONATE?)

=> s l6 and l3
141 L3
L7 10 L6 AND L3

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L7 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2002 ACS
2001:266495 Document No. 135:70716 The disposition of gemifloxacin, a new fluoroquinolone antibiotic, in rats and dogs. Ramji, J. V.; Austin, N. E.; Boyle, G. W.; Chalker, M. H.; Duncan, G.; Fairless, A. J.; Hollis, F. J.; McDonnell, D. F.; Musick, T. J.; Shardlow, P. C. (Drug Metabolism and Pharmacokinetics, SmithKline Beecham Pharmaceuticals, Welwyn, AL6 9AR, UK). Drug Metab. Dispos., 29(4, Pt. 1), 435-442 (English) 2001. CODEN: DMDSAI. ISSN: 0090-9556. Publisher: American Society for Pharmacology and Experimental Therapeutics.
AB Gemifloxacin is a fluoroquinolone antibacterial compd. with enhanced affinity for bacterial topoisomerase IV and is being developed for the treatment of respiratory and urinary tract infections. The disposition and metabolic fate of this antibiotic was studied in the rat and the dog, the animal species used in its toxicol. evaluation. The investigations were carried out following oral and i.v. administration of gemifloxacin **mesylate**. Gemifloxacin is a racemic compd.; therefore, the pharmacokinetics of its individual (+) and (-) enantiomers were characterized using a chiral high-performance liq. chromatog./tandem mass spectrometry assay. In both rat and dog, the pharmacokinetic profiles of the (+) and (-) enantiomers were essentially identical. The enantiomers were rapidly absorbed following oral administration of racemic gemifloxacin **mesylate**. They distributed rapidly beyond total body water, and their blood clearance values were approx. equal to one quarter of the hepatic blood flow in each species. Terminal phase elimination half-lives were ca. 2 h in the rat and 5 h in the dog. Gemifloxacin was metabolized to a limited extent following oral and i.v. administration of [14C]gemifloxacin **mesylate**, and all metabolites formed were relatively minor. The principal metabolites formed were the E-isomer (4-6% of dose) and the acyl glucuronide of gemifloxacin (2-6% of dose) in both species and N-acetyl gemifloxacin (2-5% of dose) in the rat. Data obtained following i.v. administration indicated that gemifloxacin-related material is eliminated from the body via urinary excretion, biliary secretion, and gastrointestinal secretion. Material was eliminated approx. equally by the three routes in the dog,

whereas a slightly higher proportion of the dose was eliminated in the urine (46%) and a lower proportion in the bile (12%) of rats.

IT **175463-14-6**, Gemifloxacin
RL: BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(disposition of gemifloxacin in rats and dogs)

L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2002 ACS

2001:167806 Document No. 134:188189 Methods of use of fluoroquinolone compounds against bacteria. Ambler, Jane E.; Amyes, Sebastian G.; Andrews, Jennifer Mary; Appelbaum, Peter C.; Barker, Phillippa J.; Beach, Mondel L.; Berry, Valerie Joan; Briand, Jacques; Broskey, John P.; et al. (Smithkline Beechman Corporation, USA; Smithkline Beecham P.L.C.). PCT Int. Appl. WO 2001015695 A1 20010308, 303 pp. DESIGNATED STATES: W: AE, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 2000-US23883 20000831. PRIORITY: US 99-PV151836; 19990901; US 99-PV151960; 19990901; US 99-PV151917; 19990901; US 99-PV151835; 19990901; US 99-PV151837; 19990901; US 99-PV151834; 19990901; US 99-PV154115; 19990914; US 99-PV153884; 19990914; US 99-PV155349; 19990922; US 99-PV155383; 19990922; US 99-PV155380; 19990922; US 99-PV155348; 19990922; US 99-PV155393; 19990922; US 99-PV155150; 19990922; US 99-PV155379; 19990922; US 99-PV155395; 19990922; US 99-PV155358; 19990922; US 99-PV155346; 19990922; US 99-PV155149; 19990922; US 99-PV155344; 19990922.

AB This invention relates, in part, to newly identified methods of using quinolone antibiotics, particularly a gemifloxacin compd. against certain bacteria, esp. pathogenic bacteria.

IT **175463-14-6**, Gemifloxacin **210353-53-0**, Gemifloxacin **mesylate**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(quinolone antibiotics, esp. gemifloxacin compds., against bacteria)

IT **175463-14-6D**, Gemifloxacin, derivs.
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(quinolone antibiotics, esp. gemifloxacin compds., against bacteria)

L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2002 ACS

2001:64573 Document No. 134:246857 Multiple-dose pharmacokinetics and tolerability of gemifloxacin administered orally to healthy volunteers. Allen, Ann; Bygate, Elizabeth; Vousden, Marika; Oliver, Stuart; Johnson, Martin; Ward, Christopher; Cheon, Ae-Jin; Choo, Youn Sung; Kim, In-Chull (Drug Metabolism and Pharmacokinetics, Smith Kline Beecham Pharmaceuticals, Welwyn, AL6 9AR, UK). Antimicrob. Agents Chemother., 45(2), 540-545 (English) 2001. CODEN: AMACCQ. ISSN: 0066-4804. Publisher: American Society for Microbiology.

AB Gemifloxacin **mesylate** (SB-265805-S, LB-20304a) is a potent, novel fluoroquinolone agent with a broad spectrum of antibacterial activity. The pharmacokinetics and tolerability of oral gemifloxacin were characterized in two parallel group studies in healthy male volunteers after doses of 160, 320, 480, and 640 mg once daily for 7 days. Multiple serum or plasma and urine samples were collected on days 1 and 7 and were analyzed for gemifloxacin by high-performance liq. chromatog. (HPLC)-fluorescence (study 1) or HPLC-mass spectrometry (study 2). Safety assessments included vital signs, 12-lead ECG (ECG) readings, hematol., clin. chem., urinalysis, and adverse experience monitoring. Gemifloxacin was rapidly absorbed, with a time to max. concn. of approx. 1 h after dosing followed by a biexponential decline in concn. Generally, max. concn. and area under the concn.-time curve (AUC) increased linearly with

dose after either single or repeat doses. Mean .+-. std. deviation values of AUC0-.tau. on day 7 were 4.92 .+-. 1.08, 9.06 .+-. 2.20, 12.2 .+-. 3.69, and 20.1 .+-. 3.67 .mu.g.cntdot.h/mL following 160-, 320-, 480-, and 640-mg doses, resp. The terminal-phase half-life was approx. 7 to 8 h, independent of dose, and was similar following single and repeated administrations. There was minimal accumulation of gemifloxacin after multiple dosing. Approx. 20 to 30% of the administered dose was excreted unchanged in the urine. The renal clearance was 160 mL/min on av. after single and multiple doses, which was slightly greater than the accepted glomerular filtration rate (approx. 120 mL/min). These data show that the pharmacokinetics of gemifloxacin are linear and independent of dose. Gemifloxacin was generally well tolerated, although one subject was withdrawn from the study after 6 days at 640 mg for mild, transient elevations of alanine aminotransferase and aspartate aminotransferase not assocd. with any clin. signs or symptoms. There were no other significant changes in clin. chem., hematol. or urinalysis parameters, vital signs, or ECG readings. In conclusion, the results of these studies, combined with the antibacterial spectrum and potency, support the further investigation of once-daily administration of gemifloxacin for indications such as respiratory tract and urinary tract infections.

IT **210353-53-0**, Gemifloxacin **mesylate**
RL: ADV (Adverse effect, including toxicity); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(multiple-dose oral gemifloxacin pharmacokinetics and tolerability in humans)

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2002 ACS

2000:344949 Document No. 133:125387 Direct liquid chromatographic enantiomer separation of new fluoroquinolones including gemifloxacin. Lee, W.; Yong Hong, C. (LG Chemical Ltd., Taejon, 305-380, S. Korea). J. Chromatogr., A, 879(2), 113-120 (English) 2000. CODEN: JCRAEY. ISSN: 0021-9673. Publisher: Elsevier Science B.V..

AB The enantiomers of gemifloxacin **mesylate** (formerly LB20304a), a new fluoroquinolone compd. with potent in vitro and in vivo antibacterial profile were resolved on a com. available Crownpak CR chiral stationary phase (CSP). All of the fluoroquinolones, including gemifloxacin used in this study, were resolved on Crownpak CR(+) column. These results are the first reported for the direct sepn. of the enantiomers of quinolones on chiral crown ether coated Crownpak CR CSP. The behavior of chromatog. parameters by the change of mobile phase additives for the resoln. of gemifloxacin was investigated. Also, the effect of structural change of gemifloxacin on chiral recognition was described.

IT **175463-14-6 197143-43-4 210353-53-0**, Gemifloxacin **mesylate 210353-54-1 284474-11-9 284474-12-0 284474-24-4 284474-25-5 284474-26-6 284474-29-9 284474-30-2 284474-34-6 284474-35-7**
RL: ANT (Analyte); ANST (Analytical study)
(resoln. of fluoroquinolones including gemifloxacin by HPLC using chiral crown ether)

L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2002 ACS

2000:286715 Document No. 133:55717 Review of the in vitro activity of gemifloxacin against Gram-positive and Gram-negative anaerobic pathogens. Goldstein, Ellie J. C. (R. M. Alden Research Laboratory, Santa Monica-UCLA Medical Center, Santa Monica, CA, 90494, USA). J. Antimicrob. Chemother., 45(Suppl. S1), 55-65 (English) 2000. CODEN: JACHDX. ISSN: 0305-7453. Publisher: Oxford University Press.

AB A review with 16 refs. Published reports on the in vitro activity of gemifloxacin **mesylate** (SB 265805), a new fluoronaphthyridone, against anaerobic pathogens are reviewed here. The studies used a variety of media, inocula and antimicrobial agents. Using a proposed breakpoint of 0.5 mg/L, these studies showed that gemifloxacin had generally higher

potency against Gram-pos. anaerobes (Clostridium perfringens, all Peptostreptococcus spp.) and fusobacteria (Fusobacterium nucleatum, Fusobacterium necrophorum) and moderate but variable potency against Gram-neg. anaerobes. Bacteroides stercoris, Bacteroides tectum and many Bacteroides fragilis isolates were inhibited by concns. of .ltoreq.0.5 mg/L, while the other species of the B. fragilis group required higher concns. for inhibition. Species variability was evident: Porphyromonas asaccharolytica, Porphyromonas canoris, Porphyromonas gingivalis, Porphyromonas macaccae, Prevotella heparinolytica and Prevotella intermedia were susceptible to 0.5 mg/L of gemifloxacin while most other Porphyromonas and Prevotella spp. were not. These data suggest that gemifloxacin may have a clin. role in the treatment of certain dental, head and neck and pleuropulmonary infections in which Gram-pos. anaerobes, fusobacteria and some Prevotella and Porphyromonas spp. may predominate.

IT **210353-53-0**, Gemifloxacin
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro activity of gemifloxacin against Gram-pos. and Gram-neg. anaerobic pathogens)

L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2002 ACS

2000:145314 Document No. 132:273897 Gemifloxacin is effective in experimental pneumococcal meningitis. Smirnov, A.; Wellmer, A.; Gerber, J.; Maier, K.; Henne, S.; Nau, R. (Department of Neurology, University of Gottingen, Gottingen, D-37075, Germany). Antimicrob. Agents Chemother., 44(3), 767-770 (English) 2000. CODEN: AMACCQ. ISSN: 0066-4804. Publisher: American Society for Microbiology.

AB In a rabbit model of Streptococcus pneumoniae meningitis, 5 mg of gemifloxacin **mesylate** (SB-265805) per kg/h reduced the bacterial titers in cerebrospinal fluid (CSF) almost as rapidly as 10 mg of ceftriazone per kg/h (.DELTA.log CFU/mL/h .+-. std. deviation [SD], -0.25 .+-. 0.09 vs. -0.38 .+-. 0.11; serum and CSF concns. of gemifloxacin were 2.1 .+-. 1.4 mg/L and 0.59 .+-. 0.38 mg/L, resp., at 24 h). Coadministration of 1 mg of dexamethasone per kg did not affect gemifloxacin serum and CSF levels (2.7 .+-. 1.4 mg/L and 0.75 .+-. 0.34 mg/L, resp., at 24 h) or activity (.DELTA.log CFU/mL/h .+-. SD, -0.26 .+-. 0.11).

IT **210353-53-0**, Gemifloxacin
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effectiveness of gemifloxacin in pneumococcal meningitis)

L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS

1999:772961 Document No. 132:8758 Evaluation of phototoxic potential of gemifloxacin in healthy volunteers compared with ciprofloxacin. Vousden, Marika; Ferguson, James; Richards, Justin; Bird, Nicholas; Allen, Ann (Clinical Pharmacology Unit, SmithKline Beecham Pharmaceuticals, Harlow, CM20 3JX, UK). Chemotherapy (Basel), 45(6), 512-520 (English) 1999. CODEN: CHTHBK. ISSN: 0009-3157. Publisher: S. Karger AG.

AB This double-blind, randomized, parallel-group comparative study investigated the phototoxic potential of gemifloxacin **mesylate**, a potent, novel fluoroquinolone antimicrobial. 40 Healthy male and female volunteers received repeat dosing for 7 days with 160 mg or 320 mg of gemifloxacin (o.d., p.m.), 500 mg of ciprofloxacin (b.d.) or placebo (b.d.). On day 5 (large step) and day 6 (small step), graded series of wavebands were irradiated onto the back of each volunteer (phototesting). Skin reactions were assessed 0-30 min (immediate erythema) and 24 and 48 h (delayed erythema) after irradn. Both gemifloxacin, 320 mg o.d., and ciprofloxacin, 500 mg b.d., were assocd. with mild phototoxicity following 7 days of administration. The range of mean phototoxic indexes (the ratio of minimal erythemal dose at baseline compared with that on day 7 at the end of dosing) was 1.00-2.19 for gemifloxacin and 0.97-2.23 for ciprofloxacin. The abnormal responses occurred within the UV A region (335-365 nm) and were maximal at 24 h. Susceptibility to phototoxicity

had cleared 48 h after stopping the drug. The phototoxicity obsd. with gemifloxacin, 160 mg o.d., was lower than that at the higher dose and similar to that of placebo, suggesting that gemifloxacin phototoxicity is dose dependent. There were no clin. important changes in the safety profiles of gemifloxacin and ciprofloxacin compared with placebo in healthy volunteers after 7 days of repeat dosing. This study demonstrated that gemifloxacin, 320 mg o.d. given for 7 days, has a low potential to cause mild-photosensitivity which is similar to that of ciprofloxacin, 500 mg b.d., given for the same period.

IT **210353-53-0**, Gemifloxacin
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phototoxic potential of gemifloxacin)

L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2002 ACS

1999:585878 Document No. 131:308774 In vitro activity of gemifloxacin (SB 265805) against anaerobes. Goldstein, Ellie J. C.; Citron, Diane M.; Warren, Yumi; Tyrrell, Kerin; Merriam, C. Vreni (R. M. Alden Research Laboratory, Santa Monica-University of California at Los Angeles Medical Center, Santa Monica, CA, 90404, USA). Antimicrob. Agents Chemother., 43(9), 2231-2235 (English) 1999. CODEN: AMACCQ. ISSN: 0066-4804. Publisher: American Society for Microbiology.

AB Gemifloxacin **mesylate** (SB 265805), a new fluoronaphthyridone, was tested against 359 recent clin. anaerobic isolates by the National Committee for Clin. Lab. Stds. ref. agar diln. method with supplemented Brucella blood agar and an inoculum of 105 CFU/spot. Comparative antimicrobials tested included trovafloxacin, levofloxacin, grepafloxacin, sparfloxacin, sitafloxacin (DU-6859a), penicillin G, amoxicillin clavulanate, imipenem, cefoxitin, clindamycin, and metronidazole. The MIC50 and MIC90 (MICs at which 50 and 90% of the isolates were inhibited) of gemifloxacin against various organisms (with the no. of strains tested in parentheses) were as follows (in micrograms per mL): for Bacteroides fragilis (28), 0.5 and 2; for Bacteroides thetaiotaomicron (24), 1 and 16; for Bacteroides caccae (12), 1 and 16; for Bacteroides distasonis (12), 8 and > 16; for Bacteroides ovatus (12), 4 and ≥ 16; for Bacteroides stercoris (12), 0.5 and 0.5; for Bacteroides uniformis (12), 1 and 4; for Bacteroides vulgatus (11), 4 and 4; for Clostridium clostridioforme (15), 0.5 and 0.5; for Clostridium difficile (15), 1 and > 16; for Clostridium innocuum (13), 0.125 and 2; for Clostridium perfringens (13), 0.06 and 0.06; for Clostridium ramosum (14), 0.25 and 8; for Fusobacterium nucleatum (12), 0.125 and 0.25; for Fusobacterium necrophorum (11), 0.25 and 0.5; for Fusobacterium varium (13), 0.5 and 1; for Fusobacterium spp. (12), 1 and 2; for Peptostreptococcus anaerobius (13), 0.06 and 0.06; for Peptostreptococcus asaccharolyticus (13), 0.125 and 0.125; for Peptostreptococcus magnus (14), 0.03 and 0.03; for Peptostreptococcus micros (12), 0.06 and 0.06; for Peptostreptococcus prevotii (14), 0.06 and 0.25; for Porphyromonas asaccharolytica (11), 0.125 and 0.125; for Prevotella bivia (10), 8 and 16; for Prevotella buccae (10), 2 and 2; for Prevotella intermedia (10), 0.5 and 0.5; and for Prevotella melaninogenica (11), 1 and 1. Gemifloxacin **mesylate** (SB 265805) was 1 to 4 dilns. more active than trovafloxacin against fusobacteria and peptostreptococci, and the two drugs were equiv. against clostridia and P. asaccharolytica. Gemifloxacin was equiv. to sitafloxacin (DU 6859a) against peptostreptococci, C. perfringens, and C. ramosum, and sitafloxacin was 2 to 3 dilns. more active against fusobacteria. Sparfloxacin, grepafloxacin, and levofloxacin were generally less active than gemifloxacin against all anaerobes.

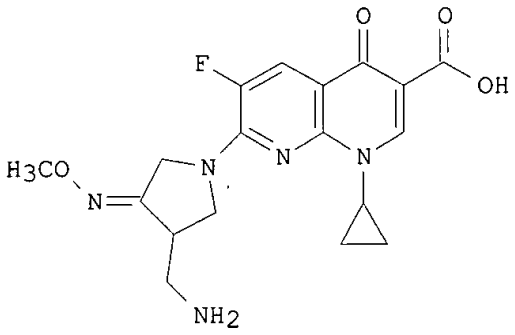
IT **210353-53-0**, SB 265805
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro activity of gemifloxacin (SB 265805) against anaerobes)

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2002 ACS

1998:677801 Document No. 129:293896 Salt of naphthyridine carboxylic acid

derivative.. Kim, Ae Ri; Lee, Jin Hwa; Park, Ki Sook; Choi, Jong Ryoo; Lee, Tae Hee; Chang, Jay Hyok; Nam, Do Hyun; Choi, Hoon (LG Chemical Ltd., S. Korea). PCT Int. Appl. WO 9842705 A1 19981001, 49 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-KR51 19980320. PRIORITY: KR 1997-9840 19970321.

GI



I

AB 7-(3-Aminomethyl-4-methoxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid (I) **methanesulfonate** and hydrates were prepd., as were pharmaceutical compns. comprising them, and they were used for antibacterial therapy. I **methanesulfonate**-1.5H2O and I **methanesulfonate**-3H2O were prepd., x-ray diffraction carried out, and stability and antibacterial activity detd.

IT **210353-55-2P 210353-56-3P 214346-16-4P**
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(naphthyridinecarboxylate salt solvates prepn. for antibacterial pharmaceuticals)

IT **175463-14-6**
RL: RCT (Reactant)
(naphthyridinecarboxylate salt solvates prepn. for antibacterial pharmaceuticals)

IT **210353-53-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(naphthyridinecarboxylate salt solvates prepn. for antibacterial pharmaceuticals)

L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2002 ACS

1998:471466 Document No. 129:122580 Preparation of quinoline(or naphthyridine)-3-carboxylic acids such as 7-(4-aminomethyl-3-methyloxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid as antibacterials. Hong, Chang Yong; Kim, Young Kwan; Kim, Se Ho; Chang, Jay Hyok; Choi, Hoon; Nam, Do Hyun; Kim, Ae Ri; Lee, Jin Hwa; Park, Ki Sook (LG Chemical Ltd., S. Korea). U.S. US 5776944 A 19980707, 64 pp. Cont.-in-part of U. S. 5,633,262. (English). CODEN: USXXAM. APPLICATION: US 1997-825992 19970404. PRIORITY: KR 1994-13604 19940616; KR 1994-39915 19941230; KR 1994-39930 19941230; US 1995-490978 19950615.

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R = H, Me, NH2; Q = CH, CF, CCl, C(OH), C(Me), C(OMe), N; R1 = cyclopropyl, Et, (un)substituted Ph; R2 = H, C1-4 alkyl, cyclopropyl, etc.; R3, R4 = H, C1-3 alkyl; R3R4N = a ring], having an excellent antibacterial activity, were prepd. More specifically, the present invention relates to 7-(4-aminomethyl-3-methyloxyiminopyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylic acid (II) or its isomers, which was prepd. by reacting a quinolone III [X = halo] with a pyrrolidine oxime IV in the presence of an acid acceptor. (Z)-II isomer has a superior antibacterial activity to the (E)-II isomer (as the free form or as its **methanesulfonate**) with, e.g., MIC of .ltoreq. 0.008 .mu.g/mL against Staphylococcus aureus 6538p.

IT **175461-35-5P 175461-36-6P 175461-37-7P**
175461-38-8P 175461-39-9P 175461-40-2P
175461-41-3P 175461-42-4P 175461-43-5P
175461-44-6P 175461-45-7P 175462-23-4P
175462-31-4P 175462-35-8P 175462-36-9P
175462-37-0P 175462-38-1P 175462-39-2P
175462-40-5P 175463-14-6P 175463-27-1P
204519-64-2P 210353-53-0P 210353-54-1P
210353-55-2P 210353-56-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinoline(or naphthyridine)-3-carboxylic acids as antibacterials)

IT **210292-58-3P 210292-59-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of quinoline(or naphthyridine)-3-carboxylic acids as antibacterials)

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	37.02	180.27

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.20	-6.20

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 11:59:54 ON 02 JAN 2002

004 ACS on STN
AN 1998:677801 CAPLUS
DN 129:293896
TI Salt of naphthyridine carboxylic acid derivative.
IN Kim, Ae Ri; Lee, Jin Hwa; Park, Ki Sook; Choi, Jong Ryoo; Lee, Tae Hee; Chang, Jay Hyok; Nam, Do Hyun; Choi, Hoon
PA LG Chemical Ltd., S. Korea
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9842705	A1	19981001	WO 1998-KR51	19980320	<--
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
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	EP 981527	B1	20030507			
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	CZ 288673	B6	20010815	CZ 1999-3282	19980320	
	EP 1179533	A2	20020213	EP 2001-203507	19980320	
	EP 1179533	A3	20020320			
	EP 1179533	B1	20040114			
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	US 2001-923580	B1	20010806			

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ALL CITATIONS AVAILABLE IN THE RE FORMAT